Serial No.: 10/541,657 Filed: March 3, 2006

Page : 2 of 47

AMENDMENTS TO THE CLAIMS

The following listing of claims replaces all prior versions and listings of claims in the application.

Listing of Claims

 (currently amended) A compound selected from compounds of Formula (Ia) and pharmaceutically acceptable salts, hydrates, and solvates thereof:

wherein:

A and B are independently C_{1.3} alkylene optionally substituted with 1 to 4 methyl groups;

D is CR₂R₃ or N-R₂;

V is absent;

W is NR4 or O;

X is N;

Y is N;

Z is selected from the group consisting of C₁₋₅ acyl, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, amino, cyano, C₄₋₈ diacylamino, C₂₋₆ dialkylsulfonamide, formyl, halogen, heterocyclic, and nitro wherein C₁₋₈ alkyl and C₁₋₅ acyl are each optionally substituted with 1 or 2 groups selected from the group consisting of C₂₋₄ dialkylamino, hydroxy, and halogen; or

Z is selected from the group consisting of nitro, amino, formyl, NHC(O)CF₃, Br, NHC(O)CH₃, N(C(O)CH₃)₂, N(S(O)₂CH₃)₂, CH₃, [1,3]dioxolan-2-yl, CH₂OH, CH₂N(CH₃)₂, and C(O)CH₃; or

Z is a group of Formula (A):

Serial No.: 10/541,657 Filed : March 3, 2006

Page : 3 of 47

wherein:

R₇ is H, C₁₋₈ alkyl or C₃₋₆ cycloalkyl; and R₈ is H, nitro or nitrile;

Ar₁ is aryl or heteroaryl wherein each is optionally substituted with R₉-R₁₃; R₁ is selected from the group consisting of H, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₂₋₆ alkynyl, amino, C₃₋₆ cycloalkyl, and C₁₋₄ haloalkyl;

 R_2 is selected from the group consisting of C_{1-5} acyl, C_{1-5} acyloxy, C_{1-4} alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, C₃₋₆-cycloalkyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, halogen, hydroxyl, CH₂OCH₂-cyclopropyl, CH₂OCH₂-cyclobutyl, CH₂OCH₂-cyclopentyl, CH2OCH2-cyclohexyl, CH2OCH2CH2-cyclopropyl, CH2OCH2CH2-cyclobutyl, CH₂OCH₂CH₂-cyclopentyl, CH₂OCH₂CH₂-cyclohexyl, CH₂CH₂OCH₂-cyclopropyl. CH₂CH₂OCH₂-cyclobutyl, CH₂CH₂OCH₂-cyclopentyl, CH₂CH₂OCH₂-cyclohexyl, CH2CH2OCH2CH2-cyclopropyl, CH2CH2OCH2CH2-cyclobutyl. CH2CH2OCH2CH2-cyclopentyl, and CH2CH2OCH2CH2-cyclohexyl; or

R₂ is C₁₋₈ alkyl or heteroaryl, each optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylsulfonyl, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, C₃₋₆-cycloalkyl, C₃₋₆-cycloalkyl-C₁₋₃-alkylene, C₃₋₆-cycloalkyl-C₁₋₃-heteroalkylene, and hydroxyl; or

 R_2 is $C_{1.8}$ alkyl, heteroaryl or phenyl each optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₅ 8 alkyl, C₁₋₄ alkylamino, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, C₁₋₄ alkylthioureyl, C₁₋₄ alkylureyl, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆-cycloalkyl-C₁₋₃-heteroalkylene, C₂₋₈ dialkylamino, C₂₋₆ dialkylcarboxamide, C₁₋₄

Serial No.: 10/541,657 Filed: March 3, 2006

Page : 4 of 47

dialkylthiocarboxamide, C₁₋₄ dialkylsulfonamide, C₁₋₄ alkylthioureyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkylthio, halogen, heterocyclic, hydroxyl, hydroxylamino and nitro; or

R₂ is -Ar₂-Ar₃ wherein Ar₂ and Ar₃ are independently aryl or heteroaryl each optionally substituted with 1 to 5 substituents selected from the group consisting of H, C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆-cycloalkyl, C₂₋₆ dialkylcarboxamide, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, halogen, hydroxyl and nitro; or

R₂ is a group of Formula (B):

wherein:

 R_{14} is C_{1-8} alkyl or C_{3-6} cycloalkyl; and R_{15} is F, Cl, Br or CN; or R_2 is a group of Formula (C):

wherein:

G is C=O, CR₁₆R₁₇, O, S, S(O), or S(O)₂; wherein

R₁₆ and R₁₇ are independently H or C₁₋₈ alkyl; and
Ar₄ is phenyl or heteroaryl optionally substituted with 1 to
5 substituents selected from the group consisting of C₁₋₅ acyl, C₁₋₅
acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄
alkylthiocarboxamide, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl,
C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthioureyl, C₁₋₄
alkylureyl, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy,
cyano, C₃₋₆-cycloalkyl, C₂₋₆ dialkylcarboxamide, C₁₋₄

Serial No.: 10/541,657 Filed : March 3, 2006

Page : 5 of 47

> dialkylthiocarboxamide, C2-6 dialkylsulfonamide, C1-4 alkylthioureyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkyl, C₁₋₄ haloalkylthio, halogen, heteroaryl, hydroxyl, hydroxylamino and nitro;

R₃ is H, C₁₋₈ alkyl, C₁₋₄ alkoxy, halogen or hydroxyl;

R4 is H or C1-8 alkyl;

R₅ and R₆ are independently H, C₁₋₈ alkyl or halogen;

 R_9 is selected from the group consisting of C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, C₁₋₄ alkylureyl, amino, arylsulfonyl, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C_{2.6} dialkylamino, C_{2.6} dialkylcarboxamide, C_{2.6} dialkylsulfonamide, halogen, C_{1.4} haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkylthio, heterocyclic, heterocyclicsulfonyl, heteroaryl, hydroxyl, nitro, C₄₋₇ oxo-cycloalkyl, phenoxy, phenyl, sulfonamide and sulfonic acid, and wherein C_{1.5} acyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylsulfonamide, alkylsulfonyl, arylsulfonyl, heteroaryl, phenoxy and phenyl are each optionally substituted with 1 to 5 substituents selected independently from the group consisting of C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, C₁₋₄ alkylureyl, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkylthio, heteroaryl, heterocyclic, hydroxyl, nitro and phenyl; or

 R_9 is a group of Formula (**D**):

wherein:

"p" and "r" are independently 0, 1, 2 or 3; and

Serial No.: 10/541,657 Filed: March 3, 2006

Page : 6 of 47

 R_{18} is H, $C_{1\text{-}5}$ acyl, $C_{2\text{-}6}$ alkenyl, $C_{1\text{-}8}$ alkyl, $C_{1\text{-}4}$ alkylcarboxamide, $C_{2\text{-}6}$ alkynyl, $C_{1\text{-}4}$ alkylsulfonamide, carbo- $C_{1\text{-}6}$ -alkoxy, carboxamide, carboxy, cyano, $C_{3\text{-}6}$ cycloalkyl, $C_{2\text{-}6}$ dialkylcarboxamide, halogen, heteroaryl or phenyl, and wherein the heteroaryl and phenyl are each optionally substituted with 1 to 5 substituents selected independently from the group consisting of $C_{1\text{-}4}$ alkoxy, amino, $C_{1\text{-}4}$ alkylamino, $C_{2\text{-}6}$ alkynyl, $C_{2\text{-}8}$ dialkylamino, halogen, $C_{1\text{-}4}$ haloalkoxy, $C_{1\text{-}4}$ haloalkyl and hydroxyl; and

 R_{10} - R_{13} are independently selected from the group consisting of C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} alkylthio, C_{1-4} alkylureyl, carbo- C_{1-6} -alkoxy, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} haloalkylthio, hydroxyl and nitro; or

two adjacent R_{10} - R_{11} groups together with Ar_1 form a 5, 6 or 7 membered cycloalkyl, cycloalkenyl or heterocyclic group wherein the 5, 6 or 7 membered group is optionally substituted with halogen.

- 2. (original) The compound according to claim 1 wherein W is NR₄.
- 3. (original) The compound according to claim 2 wherein R₄ is H.
- 4. (original) The compound according to claim 2 wherein R₄ is CH₃ or CH₂CH₃.
- 5. (original) The compound according to claim 1 wherein W is O.
- 6-11. (cancelled)
- 12. (previously presented) The compound according to claim 1 wherein A is ethylene and B is methylene.

Serial No.: 10/541,657 Filed : March 3, 2006

Page : 7 of 47

13. (previously presented) The compound according to claim 1 wherein A is propylene and B is methylene.

- 14. (previously presented) The compound according to claim 1 wherein A and B are both ethylene wherein A and B are optionally substituted with 1 to 4 methyl groups.
- 15. (cancelled)
- 16. (previously presented) The compound according to claim 1 wherein D is CR₂R₃.
- (previously presented) The compound according to claim 16 wherein R₂ is selected from 17. the group consisting of C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxyl, C_{3.6} cycloalkyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, halogen and hydroxyl.
- 18. (original) The compound according to claim 17 wherein R₂ is selected from the group consisting of C(O)CH₃, C(O)CH₂CH₃, C(O)CH₂CH₂CH₃, C(O)CH(CH₁)₂, C(O)CH₂CH₂CH₂CH₃, OC(O)CH₃, OC(O)CH₂CH₃, OC(O)CH₂CH₂CH₃, OCH₃, CH(CH₃)₂, CH(CH₃)(CH₂CH₃), CH₂(CH₂)₂CH₃, CH₂(CH₂)₃CH₃, C(O)NH₂, CO₂CH₃, CO₂CH₂CH₃, CO₂CH₂CH₂CH₃, CO₂CH(CH₃)₂, CO₂CH₂(CH₂)₂CH₃, and CO₂H.
- 19. (original) The compound according to claim 17 wherein R₂ is selected from the group consisting of S(O)₂CH₃, S(O)₂CH₂CH₃, S(O)₂CH₂CH₂CH₃, S(O)₂CH(CH₃)₂, S(O)₂CH₂(CH₂)₂CH₃, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, hydroxyl, and F.
- 20. (original) The compound according to claim 16 wherein R_2 is $C_{1.8}$ alkyl, or heteroaryl each optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylsulfonyl, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, C₃₋₆-cycloalkyl, C₃₋₆-cycloalkyl-C₁₋₃-alkylene, C₃₋₆-cycloalkyl-C₁₋₃-heteroalkylene, and hydroxyl.

Serial No.: 10/541,657 Filed: March 3, 2006

Page : 8 of 47

21. (original) The compound according to claim 20 wherein R₂ is selected from the group consisting of CH₂OCH₃, CH₂CCH₂OCH₃, CH₂OCH₂CH₃, CH₂OCH₂CH₂CH₃, CH₂OCH₂CH₂CH₃, CH₂OCH₂CH₂CH₃, CH₂OCH₂CH₂CH₃, CH₂OCH₂CH₂CH₂CH₂CH₂CH₃)₂, CH₂CO₂H, CH₂CO₂H, CH₂CH₂CH₂CH₂CH₃OH, CH₂CH₂CH₂OH.

- 23. (original) The compound according to claim 20 wherein R₂ is selected from the group consisting of 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-oxadiazol-2-yl, 3-methyl-1,2,4-oxadiazol-5-yl, 3-ethyl-1,2,4-oxadiazol-5-yl,
 3-isopropyl-1,2,4-oxadiazol-5-yl, 3-propyl-1,2,4-oxadiazol-5-yl,
 3-t-butyl-1,2,4-oxadiazol-5-yl, and 3-cyclopropyl-1,2,4-oxadiazol-5-yl.
- 24. (original) The compound according to claim 16 wherein R₂ is -Ar₂-Ar₃ wherein Ar₂ and Ar₃ are independently aryl or heteroaryl each optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆-cycloalkyl, C₂₋₆ dialkylcarboxamide, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, halogen, hydroxyl and nitro.
- 25. (original) The compound according to claim 24 wherein Ar₂ is a heteroaryl and Ar₃ is phenyl.
- 26. (original) The compound according to claim 16 wherein R₂ is Formula (C):

Serial No.: 10/541,657 Filed : March 3, 2006

Page : 9 of 47

wherein:

G is C=O, CR₁₆R₁₇, O, S, S(O), or S(O)₂; wherein:

R₁₆ and R₁₇ are independently H or C₁₋₂ alkyl; and Ar₄ is phenyl or heteroaryl optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, and halogen.

- 27. (original) The compound according to claim 26 wherein G is C=O, CH₂ or O.
- 28. (original) The compound according to claim 26 wherein G is S, S(O) or S(O)₂.
- 29. (previously presented) The compound according claim 26 wherein Ar₄ is selected from the group consisting of pyridinyl, pyridazinyl, pyrimidinyl and pyrazinyl.
- 30. (previously presented) The compound according to claim 26 wherein Ar4 is 2-pyridyl.
- 31. (previously presented) The compound according to claim 26 wherein R₁₆ and R₁₇ are both H.
- 32. (previously presented) The compound according to claim 16 wherein R₃ is H.
- 33. (previously presented) The compound according claim 1 wherein D is N-R₂.
- 34. (original) The compound according to claim 33 wherein R₂ is H, or carbo-C_{1.6}-alkoxy.
- 35. (original) The compound according to claim 34 wherein R₂ is selected from the group consisting of CO₂CH₃, CO₂CH₂CH₃, CO₂CH₂CH₂CH₃, CO₂CH(CH₃)₂ and CO₂CH₂(CH₂)₂CH₃.

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 10 of 47

36. (original) The compound according to claim 33 wherein R₂ is C₁₋₈ alkyl optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₄ alkylsulfonyl, carbo-C₁₋₆-alkoxy, and carboxy.

- (original) The compound according to claim 36 wherein R₂ is CH₂CO₂Et, or CH₂CH₂CO₂H.
- (original) The compound according to claim 36 wherein R₂ is selected from the group consisting of CH₂CH₂S(O)₂CH₃, CH₂CH₂S(O)₂CH₂CH₃, CH₂CH₂S(O)₂CH₂CH₂CH₃, CH₂CH₂S(O)₂CH(CH₃)₂ and CH₂CH₂S(O)₂CH₂(CH₂)₂CH₃.
- 39. (previously presented) The compound according to claim 1 wherein Z is selected from the group consisting of C₁₋₅ acyl, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, amino, cyano, C₄₋₈ diacylamino, C₂₋₆ dialkylsulfonamide, formyl, halogen, heterocyclic, and nitro wherein C₁₋₈ alkyl and C₁₋₅ acyl are each optionally substituted with 1, or 2 groups selected from the group consisting of C₂₋₄ dialkylmino, hydroxy, and halogen.
- (original) The compound according to claim 39 wherein Z is selected from the group consisting of nitro, amino, formyl, NHC(O)CF₃, Br, NHC(O)CH₃, N(C(O)CH₃)₂, N(S(O)₂CH₃)₂, CH₃, [1,3]dioxolan-2-yl, CH₂OH, CH₂N(CH₃)₂, and C(O)CH₃.
- 41. (previously presented) The compound according to claim 1 wherein R₁ is selected from the group consisting of H, C₁₋₈ alkyl, and amino.
- 42. (previously presented) The compound according to claim 1 wherein Ar₁ is phenyl optionally substituted with R₉-R₁₃.
- 43. (original) The compound according to claim 42 wherein R₉ is selected from the group consisting of C₁₋₅ acyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylsulfonyl, C₁₋₆ dialkylsulfonyl, C₂₋₆ dialkylsulfonamide, and carboxamide.

Serial No.: 10/541,657 Filed : March 3, 2006

Page : 11 of 47

44. (original) The compound according to claim 43 wherein R_o is selected from the group consisting of C(O)CH₃, C(O)CH₂CH₃, C(O)CH₂CH₂CH₃, C(O)CH(CH₃)₂, C(O)CH2CH2CH2CH3, OCH3, OCH2CH3, OCH2CH2CH2, OCH(CH3)2, OCH₂CH₂CH₃, CH₃, CH₃, CH₂CH₃, CH₂CH₂CH₃, CH(CH₃)₂, CH(CH₃)(CH₂CH₃), CH₂(CH₂)₂CH₃, CH₂(CH₂)₃CH₃, CH₂(CH₂)₄CH₃, CH₂(CH₂)₅CH₃, C(O)NHCH₃, $C(O)NHCH_2CH_3$, $C(O)NHCH_2CH_3$, $C(O)NHCH(CH_3)_2$, C=CH, $S(O)_2NHCH_3$, S(O)2NHCH2CH3, S(O)2NHCH2CH2CH3, S(O)2NHCH(CH3)2, S(O)2NHCH2(CH2)2CH3, S(O)₂NHCH(CH₃)CH₂CH₃, S(O)₂N(CH₃)₂, S(O)₂N(Et)(CH₃), S(O)₂CH₃, S(O)₂CH₂CH₃, S(O)₂CH₂CH₂CH₃, S(O)₂CH(CH₃)₂, S(O)₂CH₂(CH₂)₂CH₃, S(O)₂CH(CH₃)CH₂CH₃, SCH₃, SCH₂CH₃, SCH₂CH₂CH₃, SCH(CH₃)₂, SCH₂(CH₂)₂CH₃, amino, S(O)₂Ph, $N(CH_3)_2$, $N(CH_3)(Et)$, $N(Et)_2$ and $C(O)NH_2$.

- 45. (original) The compound according to claim 42 wherein R₉ is selected from the group consisting of cyano, C₁₋₆ cycloalkyl, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfonyl, and C₁₋₄ haloalkylthio.
- 46. (original) The compound according to claim 45 wherein R₉ is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Cl, F, Br, OCF₃, OCHF₂, OCH₂CF₃, CF₃, CHF₂, CH₂CF₃, SCF₃, SCHF₂ and SCH₂CF₃.
- 47. (original) The compound according to claim 42 wherein R₉ is selected from the group consisting of heterocyclic, heterocyclicsulfonyl, heteroaryl, hydroxy, C₄₋₇ oxo-cycloalkyl, phenoxy and phenyl.
- 48. (original) The compound according to claim 47 wherein R₉ is selected from the group consisting of morpholin-4-yl, thiomorpholin-4-yl, 1-oxo-1λ⁴-thiomorpholin-4-yl, 1,1-Dioxo-1λ⁶-thiomorpholin-4-yl, piperazin-1-yl, 4-methyl-piperazin-1-yl, 4-ethyl-piperazin-1-yl, 4-propyl-piperazin-1-yl, piperidin-1-yl, pyrrolidin-1-yl, 2,5-dioxo-imidazolidin-4-yl, 2,4-dioxo-thiazolidin-5-yl, 4-oxo-2-thioxo-thiazolidin-5-yl, 3-methyl-2,5-dioxo-imidazolidin-4-yl, 3-methyl-2,4-dioxo-thiazolidin-5-yl,

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 12 of 47

3-methyl-4-oxo-2-thioxo-thiazolidin-5-yl, 3-ethyl-2,5-dioxo-imidazolidin-4-yl, 3-ethyl-2,4-dioxo-thiazolidin-5-yl, and 3-ethyl-4-oxo-2-thioxo-thiazolidin-5-yl.

49. (original) The compound according to claim 47 wherein R₉ is selected from the group consisting of 1H-imidazol-4-yl, [1,2,4]triazol-1-yl, [1,2,3]triazol-1-yl, [1,2,4]triazol-4-yl, pyrrol-1-yl, pyrazol-1-yl, 1H-pyrazol-3-yl, imidazol-1-yl, oxazol-5-yl, oxazol-2-yl, [1,3,4]oxadiazol-2-yl, [1,3,4]thiadiazol-2-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]thiadiazol-3-yl, tetrazol-1-yl, pyrimidin-5-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyridazin-3-yl, pyridazin-4-yl, pyrazin-2-yl, 1,3-dioxo-1,3-dihydro-isoindol-2-yl and [1,2,3]thiadiazol-4-yl.

- 50. (original) The compound according to claim 42 wherein R₉ is C_{1.8} alkyl or C_{1.4} alkoxy optionally substituted with 1 to 5 substituents selected independently from the group consisting of C_{1.5} acyl, C_{1.4} alkoxy, C_{1.4} alkylcarboxamide, C_{1.4} alkylsulfonyl, carbo-C_{1.6}-alkoxy, carboxamide, carboxy, cyano, and hydroxyl.
- 51. (original) The compound according to claim 50 wherein R₉ is selected from the group consisting of CH₂OCH₃, CH₂OCH₂CH₃, CH₂OCH₂CH₂CH₃, CH₂OCH₂CH₃, CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃, CH₂CH₂OCH₂CH₃.
- 52. (original) The compound according to claim 42 wherein R₉ is of Formula (**D**):

wherein:

"p" and "r" are independently 0, or 1; and

 R_{18} is H, carbo- C_{1-6} -alkoxy, heteroaryl or phenyl, and wherein the heteroaryl and phenyl are each optionally substituted with 1 to 5 substituents selected independently from the group consisting of C_{1-4} alkoxy, amino, C_{1-4} alkylamino, C_{2-6} alkynyl, C_{2-8} dialkylamino, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl and hydroxyl.

Serial No.: 10/541,657 Filed: March 3, 2006

Page : 13 of 47

53. (original) The compound according to claim 52 wherein p = 0 and r = 0.

- 54. (original) The compound according to claim 53 wherein R₁₈ is phenyl optionally substituted with 1 to 5 substituents selected independently from the group consisting of C₁₋₄ alkoxy, amino, C₁₋₄ alkylamino, C₂₋₆ alkynyl, C₂₋₈ dialkylamino, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl and hydroxyl.
- 55. (original) The compound according to claim 52 wherein p = 0 and r = 1.
- (original) The compound according to claim 55 wherein R₁₈ is carbo-C₁₋₆-alkoxy or carboxy.
- 57. (previously presented) The compound according to claim 43 wherein R₉ is substituted at the para position on the phenyl.
- 58. (previously presented) The compound according to claim 42 wherein R₁₀-R₁₃ are independently selected from the group consisting of C₁₋₅ acyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylureyl, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, halogen, C₁₋₄ haloalkoxy and C₁₋₄ haloalkyl.
- 59. (previously presented) The compound according to claim 42 wherein one or two R₁₀-R₁₃ groups are independently halogen.
- 60. (previously presented) The compound according to claim 42 wherein two adjacent R₁₀-R₁₁ groups together with the phenyl form a 5, 6 or 7 membered cycloalkyl, cycloalkenyl or heterocyclic group wherein the 5, 6 or 7 membered group is optionally substituted with halogen.
- 61. (original) The compound according to claim 60 wherein the heterocyclic group together with the phenyl group is a 2,3-dihydro-benzofuran-5-yl, benzo[1,3]dioxol-5-yl group,
 2,3-dihydro-benzo[1,4]dioxin-6-yl, 2,3-dihydro-benzo[1,4]dioxin-2-yl group,
 3,4-dihydro-2H-benzo[b][1,4]dioxepin-7-yl group.

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 14 of 47

62. (original) The compound according to claim 1 wherein Ar₁ is heteroaryl optionally substituted with R₉-R₁₃.

- 63. (original) The compound according to claim 62 wherein R₉ is selected from the group consisting of C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylsulfonyl, C₁₋₄ haloalkyl, hydroxy, halogen, and phenyl.
- 64. (original) The compound according to claim 63 wherein R₉ is selected from the group consisting OCH₃, OCH₂CH₃, OCH₂CH₂CH₃, OCH(CH₃)₂, OCH₂CH₂CH₂CH₃, CH₃, CH₂CH₃, CH₂CH₃, CH(CH₃)₂, CH(CH₃)(CH₂CH₃), CH₂(CH₂)₂CH₃, CH₂(CH₂)₃CH₃, CH₂(CH₂)₅CH₃, C(O)NHCH₃, C(O)NHCH₂CH₃, C(O)NHCH₂CH₂CH₃, C(O)NHCH(CH₃)₂, C(O)NHCH₂(CH₂)₂CH₃, S(O)₂CH₃, S(O)₂CH₂CH₃, S(O)₂CH₂CH₂CH₂CH₂CH₃, S(O)₂CH₂CH₂CH₂CH₂CH₂CH₂CH₂
- 65. (previously presented) The compound according claim 62 wherein R₁₀-R₁₃ are independently C₁₋₅ acyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylureyl, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, halogen, C₁₋₄ haloalkoxy and C₁₋₄ haloalkyl.
- 66. (previously presented) The compound according to claim 62 wherein one or two R₁₀-R₁₃ groups are independently halogen.
- 67-72. (cancelled).
- 73. (previously presented) The compound according to claim 1, selected from the following compounds and pharmaceutically acceptable salts, hydrates, and solvates thereof:
 - 1-[6-(4-Imidazol-1-yl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
 - 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
 - 1-[6-(4-Methanesulfonyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;

Serial No.: 10/541,657 : March 3, 2006 Filed

: 15 of 47 Page

> 1-{6-[4-(2-Methoxycarbonyl-acetyl)-phenoxy]-5-nitro-pyrimidin-4-yl}piperidine-4-carboxylic acid ethyl ester;

- 1-[5-Amino-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-(2,2,2-trifluoroacetylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;

Propionic acid 1-[2-amino-5-formyl-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3yloxy)-pyrimidin-4-yl]-piperidin-4-yl ester;

- 4-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]piperazine-1-carboxylic acid ethyl ester;
- 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]piperidine-4-carboxylic acid methyl ester;
- 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]piperidine-3-carboxylic acid ethyl ester;
- 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]piperidine-4-carboxylic acid ethylamide;
- 1-[6-(2-Methyl-5-phenyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Bromo-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Acetylamino-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Diacetylamino-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]piperidine-4-carboxylic acid;
- 1-[5-Di-(methanesulfonyl)amino-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3yloxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:
- 1-[5-Nitro-6-(3-trifluoromethyl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;

Serial No.: 10/541,657 Filed : March 3, 2006 Page : 16 of 47

1-[5-Methyl-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;

- 1-[5-Nitro-6-(2-trifluoromethyl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-trifluoromethyl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Fluoro-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2,5-Dimethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;
- 1-[6-(4-Bromo-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Chloro-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:
- 1-[6-(4-Carbamoyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-{6-[4-(2-Methoxy-ethyl)-phenoxy]-5-nitro-pyrimidin-4-yl}-piperidine-4carboxylic acid ethyl ester:
- 1-[6-(4-Cyclopentyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-pyrrol-1-yl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:
- 1-[6-(4-Benzoyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-{6-[4-(4-Hydroxy-benzenesulfonyl)-phenoxy}-5-nitro-pyrimidin-4-yl}piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4'-Cyano-biphenyl-4-vloxy)-5-nitro-pyrimidin-4-vl}-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2-Amino-4-ethanesulfonyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;

Serial No.: 10/541,657 : March 3, 2006 : 17 of 47 Filed

Page

1-{6-[4-(5-Hydroxy-pyrimidin-2-vl)-phenoxy]-5-nitro-pyrimidin-4-vl}piperidine-4-carboxylic acid ethyl ester;

- 1-[5-Nitro-6-(4-sulfo-phenoxy)-pyrimidin-4-vl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-[1,2,4]triazol-1-yl-phenoxy)-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;
- 1-[6-(4-Carbamovlmethyl-phenoxy)-5-nitro-pyrimidin-4-yll-piperidine-4carboxylic acid ethyl ester;
- 1-{6-[4-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-phenoxy]-5-nitro-pyrimidin-4-yl}piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4'-Methoxy-biphenyl-4-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;
- 1-{6-[4-(2,5-Dioxo-imidazolidin-4-vl)-phenoxy]-5-nitro-pyrimidin-4-vl}piperidine-4-carboxylic acid ethyl ester;
- 4-(4,4-Difluoro-piperidin-1-vl)-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3yloxy)-5-nitro-pyrimidine;
- 1-{5-Nitro-6-[4-(4-oxo-cyclohexyl)-phenoxy]-pyrimidin-4-yl}-piperidine-4carboxylic acid ethyl ester;
- 1-{5-Nitro-6-[4-(3-oxo-butyl)-phenoxy]-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester:
- 1-[5-Nitro-6-(4-propionyl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:
- 1-[5-Nitro-6-(4-[1,2,3]thiadiazol-4-yl-phenoxy)-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;
- 1-{6-[4-(2-Hydroxy-ethyl)-phenoxy]-5-nitro-pyrimidin-4-yl}-piperidine-4carboxylic acid ethyl ester;
- {4-[6-(4,4-Difluoro-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-phenyl methanone:
- 3-{4-[6-(4,4-Difluoro-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-3-oxopropionic acid methyl ester:

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 18 of 47

2-[6-(4,4-Difluoro-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-5-ethanesulfonyl-phenylamine;

- 4-(4-Cyclopentyl-phenoxy)-6-(4,4-difluoro-piperidin-1-yl)-5-nitro-pyrimidine;
- 1-[6-(2,6-Dichloro-4-methanesulfonyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- $\label{lem:carboxyl} 1-\{6-[4-(4-Chloro-benzoyl)-phenoxy]-5-nitro-pyrimidin-4-yl\}-piperidine-4-carboxylic acid ethyl ester;$
- $1-\{6-[4-(4-Hydroxy-benzoyl)-phenoxy]-5-nitro-pyrimidin-4-yl\}-piperidine-4-carboxylic acid ethyl ester;\\$
- 1-[6-(4-Cyanomethyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- $\label{eq:conditional} (4-\{6-[4-(2-Methanesulfonyl-ethyl)-piperazin-1-yl]-5-nitro-pyrimidin-4-yloxy\}-phenyl-phenyl-methanone;$
- 4-(4-{6-[4-(2-Methanesulfonyl-ethyl)-piperazin-1-yl]-5-nitro-pyrimidin-4-yloxy}-phenyl)-butan-2-one;
- 3-(4-{6-[4-(2-Methanesulfonyl-ethyl)-piperazin-1-yl]-5-nitro-pyrimidin-4-yloxy}-phenyl)-3-oxo-propionic acid methyl ester;
- 4-(4-Methyl-piperidin-1-yl)-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;
- 4-(4-Bromo-piperidin-1-yl)-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;
- 4-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidine;
- 1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid amide;
- 1-[5-Nitro-6-(2-oxo-2H-chromen-6-yloxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(9-oxo-9H-fluoren-2-yloxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 19 of 47

1-{5-Amino-6-[4-(3-oxo-butyl)-phenoxy]-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;

- 1-[6-[4-(3-Oxo-butyl)-phenoxy]-5-(2,2,2-trifluoro-acetylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-{5-Amino-6-[4-(hydroxy-phenyl-methyl)-phenoxy]-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2-Benzoyl-5-methoxy-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- l-[6-(6-Chloro-pyridin-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- l-[6-(Benzo[1,3]dioxol-5-yloxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Benzyloxy-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(3-Morpholin-4-yl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-trifluoromethylsulfanyl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-trifluoromethoxy-phenoxy)-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;
 - 1-[6-(4-Benzoyl-phenoxy)-5-(2,2,2-trifluoro-acetylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
 - {4-[5-Nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenyl-methanone;
 - {4-Methoxy-2-[5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenyl}-phenyl-methanone;
 - 4-{4-[5-Nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenyl}-butan-2-one;
 - 5-Nitro-4-(4-propyl-piperidin-1-yl)-6-(4-[1,2,3]thiadiazol-4-yl-phenoxy)-pyrimidine;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 20 of 47

 $3-\{4-[5-Nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenyl\}-3-oxo-propionic acid methyl ester;\\$

- 5-Ethanesulfonyl-2-[5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenylamine;
- 2-{1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidin-4-yl}-ethanol;
- 3-{1-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidin-4-yl}-propionic acid;
- 4-[4-(4-Methyl-benzyl)-piperidin-1-yl]-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;
- $\label{lem:condition} 4-(3-Methane sulfonyl-pyrrolidin-1-yl)-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;$
- 4-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-6-[4-(2-trifluoromethyl-phenoxy)-piperidin-1-yl]-pyrimidine;
- 4-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidine;
- 4-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-6-(4-trifluoromethyl-piperidin-1-yl)-pyrimidine;
- 4-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-6-(4-phenylsulfanyl-piperidin-1-yl)-pyrimidine;
- 1-[6-(3-Ethynyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Chloro-2-fluoro-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- $\label{lem:lemony} 1\mbox{-}[6\mbox{-}(2,4\mbox{-}Difluoro\mbox{-}phenoxy)\mbox{-}5\mbox{-}nitro\mbox{-}pyrimidin-}4\mbox{-}yl]\mbox{-}piperidine-}4\mbox{-}carboxylic acid ethyl ester;$
- 1-[6-(4-Bromo-2-fluoro-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
 - 4-(3-Ethynyl-phenoxy)-5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidine;
 - $\hbox{$4$-(4-Chloro-2-fluoro-phenoxy)-5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidine};$

Serial No. : 10/541,657 Filed : March 3, 2006 Page : 21 of 47

- 4-(2,4-Difluoro-phenoxy)-5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidine;
- 4-(4-Bromo-2-fluoro-phenoxy)-5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidine;
- 4-(4-{5-Nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yloxy}-phenyl)-butan-2-one;
- 4-(4-{5-Nitro-6-[4-(2-triffuoromethyl-phenoxy)-piperidin-1-yl]-pyrimidin-4-yloxy}-phenyl)-butan-2-one;
- 4-(4-{6-[4-(3-Methyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yloxy}-phenyl)-butan-2-one;
- (4-{6-[4-(3-Methyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yloxy}-phenyl)-phenyl-methanone;
- 1-{6-[4-(4-Fluoro-benzoyl)-phenoxy]-5-nitro-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- (4-Fluoro-phenyl)-{4-[5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenyl}-methanone;
- 4-[4-(3-Methyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;
- 4-(4-Methoxymethyl-piperidin-1-yl)-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;
- 4-{4-[6-(4-Methoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-butan-2-one:
- 4-[4-(2-Methoxy-ethyl)-piperidin-1-yl]-6-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidine;
- 4-{4-[6-(4-Ethoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-butan-2-one;
- $\label{eq:condition} \mbox{4-(2,4-Difluoro-phenoxy)-5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidine;}$
- (4-Methoxy-2-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yloxy}-phenyl)-phenyl-methanone;
 - 4-(2,4-Difluoro-phenoxy)-6-(4-ethoxymethyl-piperidin-1-yl)-5-nitro-pyrimidine;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 22 of 47

4-{4-[6-(4-Cyclopropylmethoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-butan-2-one;

- 4-{4-[5-Nitro-6-(4-propoxymethyl-piperidin-1-yl)-pyrimidin-4-yloxy]-phenyl}-butan-2-one;
- 1-{4-[6-(4-Methoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-ethanone;
- 4-{4-[6-(4-Butoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-butan-2-one;
- 4-{4-[6-(4-Isobutoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-butan-2-one;
- {4-[6-(4-Ethoxy-piperidin-1-yl)-5-nitro-pyrimidin-4-yloxy]-phenyl}-(4-fluoro-phenyl)-methanone;
- l-[6-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yloxy)-5-nitro-pyrimidin-4-yl]-piperidin-4-ol;
- 1-[6-(4-Acetyl-phenoxy)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- (1-{6-[4-(4-Fluoro-benzoyl)-phenoxy]-5-nitro-pyrimidin-4-yl}-piperidin-4-yl)-(4-fluoro-phenyl)-methanone;
- 4-(4-{6-[4-(4-Fluoro-benzoyl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yloxy}-phenyl)-butan-2-one;
- 4-(4-Methanesulfonyl-phenoxy)-5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidine;
- 4-(4-Methanesulfonyl-phenoxy)-5-nitro-6-[4-(pyridin-4-ylsulfanyl)-piperidin-1-yl]-pyrimidine;
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonyl-phenoxy)-pyrimidine-5-carbonitrile;
- 1-[5-Nitro-6-(4-trifluoromethylsulfanyl-phenoxy)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 5-[1,3]Dioxolan-2-yl-4-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonyl-phenoxy)-pyrimidine;

Serial No.: 10/541,657 Filed : March 3, 2006 : 23 of 47 Page

4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonylphenoxy)-pyrimidine-5-carbaldehyde;

- 5-[1,3]Dioxolan-2-yl-4-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-[1,2,3]thiadiazol-4-yl-phenoxy)-pyrimidine;
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-[1,2,3]thiadiazol-4yl-phenoxy)-pyrimidine-5-carbaldehyde;
- [4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-[1,2,3]thiadiazol-4yl-phenoxy)-pyrimidin-5-yl]-methanol;
- [4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-[1,2,3]thiadiazol-4yl-phenoxy)-pyrimidin-5-ylmethyl]-dimethyl-amine;
- 4-(4-Methanesulfonyl-phenoxy)-5-nitro-6-(4-phenylsulfanyl-piperidin-1-yl)pyrimidine;
- 4-[4-(3-tert-Butyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(6-methanesulfonylpyridin-3-yloxy)-5-nitro-pyrimidine;
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-vl)-piperidin-1-vl]-6-(4-methanesulfonylphenoxy)-2-methyl-pyrimidine-5-carbonitrile;

and

- 1-[4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonylphenoxy)-pyrimidin-5-yl]-ethanone.
- (previously presented) The compound according to claim 1, selected from the following 74. compounds and pharmaceutically acceptable salts, hydrates, and solvates thereof:
 - 1-[6-(4-Bromo-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:
 - 1-[5-Nitro-6-(4-trifluoromethyl-phenylamino)-pyrimidin-4-yl]-piperidine-4carboxylic acid ethyl ester;
 - 1-[6-(Methyl-phenyl-amino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
 - 1-[5-Nitro-6-(4-trifluoromethoxy-phenylamino)-pyrimidin-4-yll-piperidine-4carboxylic acid ethyl ester;

Serial No.: 10/541,657 : March 3, 2006 : 24 of 47 Page

1-[6-(4-Fluoro-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:

- 1-[6-(3,5-Difluoro-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester:
- 1-[6-(3,5-Dichloro-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(Benzo[1,3]dioxol-5-vlamino)-5-nitro-pyrimidin-4-vl]-piperidine-4carboxylic acid ethyl ester;
- 1-[6-(2-Bromo-4-trifluoromethoxy-phenylamino)-5-nitro-pyrimidin-4-vl]piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2-Fluoro-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(3-Fluoro-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-{6-[(2-Fluoro-phenyl)-methyl-amino]-5-nitro-pyrimidin-4-yl}-piperidine-4carboxylic acid ethyl ester;
- 1-[6-(Ethyl-phenyl-amino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-{6-[(4-Chloro-phenyl)-methyl-amino]-5-nitro-pyrimidin-4-yl}-piperidine-4carboxylic acid ethyl ester;
- 1-[6-(3,4-Dihydro-2H-benzo[b][1,4]dioxepin-7-ylamino)-5-nitro-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;
- 1-{6-[4-(Morpholine-4-sulfonyl)-phenylamino]-5-nitro-pyrimidin-4-yl}piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2,2-Difluoro-benzo[1,3]dioxol-4-ylamino)-5-nitro-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2,2-Difluoro-benzo[1,3]dioxol-5-ylamino)-5-nitro-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;
- (3,4-Dihydro-2H-benzo[b][1,4]dioxepin-7-yl)-[5-nitro-6-(4-propyl-piperidin-1yl)-pyrimidin-4-yl]-amine;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 25 of 47

- (3-Fluoro-phenyl)-[5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yl]-amine;
- (3-Methoxy-phenyl)-[5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yl]-amine;
- 1-{6-[(3-Fluoro-phenyl)-methyl-amino]-5-nitro-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Benzoyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- $1-\{6-[4-(1,1-\text{Dioxo}-1\lambda^6-\text{thiomorpholin-4-ylmethyl})-\text{phenylamino}]-5-\text{nitro-pyrimidin-4-yl}}-\text{piperidine-4-carboxylic acid ethyl ester;}$
- l-[6-(4-Methanesulfonyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Dimethylsulfamoyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(3-Methoxy-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(2-Methoxy-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(3,5-Bis-trifluoromethyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- l-[6-(2,5-Dimethoxy-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- (4-{5-Nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-ylamino}-phenyl-methanone;
- (4-{5-Nitro-6-[4-(2-trifluoromethyl-phenoxy)-piperidin-1-yl]-pyrimidin-4-ylamino}-phenyl)-phenyl-methanone;
- 1-[6-(3,5-Dimethoxy-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 26 of 47

1-[6-(4-sec-Butyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;

- 1-[6-(4-Heptyl-phenylamino)-5-nitro-pyrimldin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- l-[5-Nitro-6-(3,4,5-trimethoxy-phenylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- l-[5-Nitro-6-(4-pentyl-phenylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- l-{6-[4-(3-Carboxy-propyl)-phenylamino]-5-nitro-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- l-{6-[4-(Cyano-phenyl-methyl)-phenylamino]-5-nitro-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- 1-[6-(4-Cyclohexyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-[1,2,4]triazol-1-yl-phenylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-trifluoromethanesulfonyl-phenylamino)-pyrimidin-4-yl]piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(4-[1,2,3]thiadiazol-4-yl-phenylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- [6-(4-Ethoxymethyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yl]-(4-methanesulfonyl-phenyl)-amine;
- $\label{lem:condition} \begin{tabular}{ll} [5-Nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yl]-(4-[1,2,4]triazol-1-yl-phenyl)-amine; \end{tabular}$
- {5-Nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yl}-(4-[1,2,4]triazol-1-yl-phenyl)-amine;
- $\label{lem:condition} \end{cases} $$ (2-Fluoro-phenyl)-\{6-[4-(3-methyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl\}-amine;$
- $\label{lem:condition} $$ (4-Methanesulfonyl-phenyl)-\{6-[4-(3-methyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl\}-amine;$

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 27 of 47

 $\label{eq:conditional} $$ \{6-[4-(3-Methyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl\}-$$ $$ (4-[1,2,4]triazol-1-yl-phenyl)-amine;$

- 1-{5-Nitro-6-[4-(4-trifluoromethyl-phenoxy)-phenylamino]-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- $\label{eq:condition} $$ \{6-[4-(3-Ethyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(2-fluoro-phenyl)-amine;$
- {6-[4-(2-Methoxy-phenylsulfanyl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-[1,2,4]triazol-1-yl-phenyl)-amine;
- (4-Methanesulfonyl-phenyl)-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yl}-amine;
- (3-Methoxy-phenyl)-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yl}-amine;
- Benzo[1,3]dioxol-5-yl-[5-nitro-6-(4-propyl-piperidin-1-yl)-pyrimidin-4-yl]-amine;
- (4-Fluoro-phenyl)-{1-[5-nitro-6-(4-[1,2,4]triazol-1-yl-phenylamino)-pyrimidin-4-yl]-piperidin-4-yl}-methanone;
- [5-Nitro-6-(4-phenylsulfanyl-piperidin-1-yl)-pyrimidin-4-yl]-(4-[1,2,4]triazol-1-yl-phenyl)-amine;
- (4-Fluoro-phenyl)-{1-[6-(2-fluoro-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidin-4-yl}-methanone;
- l-[6-(2-Methyl-5-phenyl-2H-pyrazol-3-ylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- (4-Methanesulfonyl-phenyl)-[5-nitro-6-(4-phenylsulfanyl-piperidin-1-yl)-pyrimidin-4-yl]-amine;
- $(4-Methane sulfonyl-phenyl)-\{5-nitro-6-[4-(pyridin-2-yloxy)-piperidin-1-yl]-pyrimidin-4-yl\}-amine;$
- {6-[4-(4-Fluoro-phenoxy)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-methanesulfonyl-phenyl)-amine;
- (4-Methanesulfonyl-phenyl)-{5-nitro-6-[4-(pyridin-4-yloxy)-piperidin-1-yl]-pyrimidin-4-yl}-amine;

Serial No.: 10/541,657 : March 3, 2006 : 28 of 47

Page

- (4-Methanesulfonyl-phenyl)-{5-nitro-6-[4-(pyrimidin-2-yloxy)-piperidin-1-yl]pyrimidin-4-yl}-amine;
- (4-Methanesulfonyl-phenyl)-{5-nitro-6-[4-(pyridin-4-ylsulfanyl)-piperidin-1-yl]pyrimidin-4-yl}-amine;
- (4-Methanesulfonyl-phenyl)-{6-[4-(4-methoxy-phenylsulfanyl)-piperidin-1-yl]-5nitro-pyrimidin-4-yl}-amine;
- [6-(4-Benzenesulfonyl-piperidin-1-yl)-5-nitro-pyrimidin-4-yl]-(4methanesulfonyl-phenyl)-amine;
- {4-[6-(4-Methanesulfonyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperazin-1-yl}acetic acid ethyl ester;
- (2-Fluoro-phenyl)-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-vl}-amine:
- 2-Methoxy-phenyl)-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]pyrimidin-4-yl}-amine;
- {6-[4-(3-Ethyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4methanesulfonyl-phenyl)-amine;
- (4-Methanesulfonyl-phenyl)-[5-nitro-6-(4-pyridin-2-ylmethyl-piperidin-1-yl)pyrimidin-4-yl]-amine;
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methylsulfanylphenylamino)-pyrimidine-5-carbonitrile;
- 1-{6-[4-(4,5-Dichloro-imidazol-1-yl)-phenylamino]-5-nitro-pyrimidin-4-yl}piperidine-4-carboxylic acid ethyl ester;
- Benzo[1,3]dioxol-5-yl-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]pyrimidin-4-yl}-amine;
- (4-Fluoro-phenyl)-{1-[6-(2-fluoro-phenylamino)-5-nitro-pyrimidin-4-yl]piperidin-4-yl}-methanone;
- {1-[6-(Benzo[1,3]dioxol-5-vlamino)-5-nitro-pyrimidin-4-vl]-piperidin-4-vl}-(4fluoro-phenyl)-methanone;
- (2,3-Difluoro-phenyl)-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]pyrimidin-4-yl}-amine;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 29 of 47

(2,4-Difluoro-phenyl)-{5-nitro-6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yl}-amine;

- $\label{eq:continuous} \end{cases} $$(2,5$-Diffuoro-phenyl)-{$5$-nitro-$6-[4-(pyridin-2-ylsulfanyl)-piperidin-1-yl]-pyrimidin-4-yl}-amine;$
- 1-[6-(4-Benzenesulfonyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-[5-Nitro-6-(2-trifluoromethyl-3H-benzoimidazol-5-ylamino)-pyrimidin-4-yl]-piperidine-4-carboxylic acid ethyl ester;
- 1-{5-Nitro-6-[3-(1,1,2,2-tetrafluoro-ethoxy)-phenylamino]-pyrimidin-4-yl}-piperidine-4-carboxylic acid ethyl ester;
- {6-[4-(4-Iodo-phenoxy)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-methanesulfonyl-phenyl)-amine;
- (2-Fluoro-4-methanesulfonyl-phenyl)-{6-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-amine;
- {6-[4-(3-Ethyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(2-fluoro-4-methanesulfonyl-phenyl)-amine;
- (4-Methanesulfonyl-phenyl)-{5-nitro-6-[4-(3-propyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-pyrimidin-4-yl}-amine;
- {6-[4-(3-Cyclopropylmethyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-methanesulfonyl-phenyl)-amine;
- {6-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-methanesulfonyl-phenyl)-amine;
- {6-[4-(3-Cyclopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-methanesulfonyl-phenyl)-amine;
- $\label{lem:condition} 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methylsulfanyl-phenylamino)-pyrimidine-5-carbonitrile;$
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfinyl-phenylamino)-pyrimidine-5-carbonitrile;
- (4-Methanesulfonyl-phenyl)-{5-nitro-6-[4-(4-trifluoromethoxy-phenoxy)-piperidin-1-yl]-pyrimidin-4-yl}-amine;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 30 of 47

4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonyl-phenylamino)-pyrimidine-5-carbonitrile;

- 1-{1-[6-(2-Fluoro-4-methanesulfonyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidin-4-yl}-hexan-1-one;
- 1-{1-[6-(4-Methanesulfonyl-phenylamino)-5-nitro-pyrimidin-4-yl]-piperidin-4-yl}-hexan-1-one;
- {6-[4-(3-tert-Butyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(2-fluoro-4-methanesulfonyl-phenyl)-amine;
- {6-[4-(3-tert-Butyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(4-methanesulfonyl-phenyl)-amine;
- [6-(4-Benzofuran-2-yl-piperidin-1-yl)-5-nitro-pyrimidin-4-yl]-(4-methanesulfonyl-phenyl)-amine;
- 4-(3-Fluoro-4-methanesulfonyl-phenylamino)-6-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-pyrimidine-5-carbonitrile;
- {6-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(5-methanesulfonyl-pyridin-2-yl)-amine;
- (3-Fluoro-4-methanesulfonyl-phenyl)-{6-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-amine;
- {6-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-5-nitro-pyrimidin-4-yl}-(6-methanesulfonyl-pyridin-3-yl)-amine;
- 4-(2,3-Difluoro-phenylamino)-6-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-pyrimidine-5-carbonitrile;
- 4-(2,5-Difluoro-phenylamino)-6-[4-(3-isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-l-yl]-pyrimidine-5-carbonitrile;
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methylsulfanyl-phenylamino)-pyrimidine-5-carbonitrile;
- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonyl-phenylamino)-pyrimidine-5-carbonitrile;
- 4-(4-Hexanoyl-piperidin-1-yl)-6-(6-methylsulfanyl-pyridin-3-ylamino)-pyrimidine-5-carbonitrile;

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 31 of 47

4-(4-Hexanoyl-piperidin-1-yl)-6-(6-methanesulfonyl-pyridin-3-ylamino)-pyrimidine-5-carbonitrile;

- 4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-I-yl]-6-(6-methylsulfanyl-pyridin-3-ylamino)-pyrimidine-5-carbonitrile;
- 4-[4-(3-Isopropyl-[I,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(6-methanesulfonyl-pyridin-3-ylamino)-pyrimidine-5-carbonitrile;
- 1-[4-[4-(3-Isopropyl-[I,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(4-methanesulfonyl-phenylamino)-pyrimidin-5-yl]-ethanone;

and

1-[4-[4-(3-Isopropyl-[1,2,4]oxadiazol-5-yl)-piperidin-1-yl]-6-(6-methanesulfonyl-pyridin-3-ylamino)-pyrimidin-5-yl]-ethanone.

75-77. (cancelled)

- 78. (previously presented) A pharmaceutical composition comprising at least one compound according to claim 1 and a pharmaceutically acceptable carrier.
- 79. (previously presented) A method for prophylaxis or treatment of a metabolic disorder in an individual comprising administering to the individual a therapeutically effective amount of a compound according to claim 1 or a pharmaceutical composition thereof.
- 80. (previously presented) The method according to claim 79 wherein the metabolic disorder is type I diabetes, type II diabetes, inadequate glucose tolerance, insulin resistance, hyperglycemia, hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, dyslipidemia, syndrome X or metabolic syndrome.
- (original) The method according to claim 79 wherein the metabolic disorder is type II diabetes.
- 82. (previously presented) A method for controlling or decreasing weight gain of an individual comprising administering to the individual a therapeutically effective amount of a compound according to claim 1 or a pharmaceutical composition thereof.

Serial No.: 10/541,657 Filed: March 3, 2006

Page : 32 of 47

83. (previously presented) A method of modulating a RUP3 receptor comprising contacting the receptor with a compound according to claim 1.

- 84. (previously presented) A method of modulating a RUP3 receptor in an individual comprising contacting the receptor with a compound according to claim 1.
- 85. (previously presented) The method of modulating the RUP3 receptor according to claim84 wherein the compound is an agonist.
- 86. (cancelled)
- 87. (previously presented) The method of modulating the RUP3 receptor according to claim 85 wherein the modulation of the RUP3 receptor is prophylaxis or treatment of a metabolic disorder.
- 88. (previously presented) The method of modulating the RUP3 receptor according to claim 87 wherein the metabolic disorder is type I, type II diabetes, inadequate glucose tolerance, insulin resistance, hyperglycemia, hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, dyslipidemia, syndrome X or metabolic syndrome.
- 89. (original) The method of modulating the RUP3 receptor according to claim 87 wherein the metabolic disorder is type II diabetes.
- 90. (previously presented) The method of modulating the RUP3 receptor according to claim 85 wherein the modulation of the RUP3 receptor controls or reduces weight gain of the individual.
- (previously presented) The method according to claim 85 wherein the individual is a mammal.
- 92. (original) The method according to claim 91 wherein the mammal is a human.

93-99. (cancelled)

Attorney's Docket No.: 20750-0007US1 / 034.US5.PCT

Applicant: Robert M. Jones et al. Serial No.: 10/541,657

Filed : March 3, 2006

Page : 33 of 47

100. (previously presented) A method of producing a pharmaceutical composition comprising admixing at least one compound according to claim 1, 73, or 74 and a pharmaceutically acceptable carrier.

- 101. (new) A compound according to claim 1 wherein R₂ is a 5-membered heteroaryl optionally substituted with 1 to 4 substituents selected from the group consisting of C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylamino, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, C₁₋₄ alkylthioureyl, C₁₋₄ alkylureyl, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆-cycloalkyl-C₁₋₃-heteroalkylene, C₂₋₈ dialkylamino, C₂₋₆ dialkylcarboxamide, C₁₋₄ dialkylthiocarboxamide, C₂₋₆ dialkylsulfonamide, C₁₋₄ alkylthioureyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkyl, C₁₋₄ haloalkylthio, halogen, heterocyclic, hydroxyl, hydroxylamino and nitro.
- 102. (new) A compound according to claim 1 of the following formula:

$$Ar_1$$
 V V Z N A R_2 R_3

wherein R₂ is a 5-membered heteroaryl optionally substituted with 1 to 4 substituents selected from the group consisting of C_{1-5} acyl, C_{1-5} acyloxy, C_{1-4} alkoxy, C_{1-5} 8 alkyl, C₁₋₄ alkylamino, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, C₁₋₄ alkylthioureyl, C₁₋₄ alkylureyl, amino, carbo-C₁₋₆-alkoxy, carboxamide, carboxy, cyano, C₃₋₆-cycloalkyl-C₁₋₃-heteroalkylene, C₂₋₈ dialkylamino, C₂₋₆ dialkylcarboxamide, C₁₋₄ dialkylthiocarboxamide, C₂₋₆ dialkylsulfonamide, C₁₋₄ alkylthioureyl, C₁₋₄ haloalkoxy, C₁₋₄ 4 haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkyl, C₁₋₄ haloalkylthio, halogen, heterocyclic, hydroxyl, hydroxylamino and nitro; and

R₃ is hydrogen or C₁₋₄ alkyl.

Serial No.: 10/541,657 Filed : March 3, 2006 : 34 of 47

Page

103. (new) A compound according to claim 102, wherein R₂ is a 5-membered heteroaryl optionally substituted with 1 or 2 substituents selected from the group consisting of C_{1.8} alkyl, C₁₋₄ haloalkyl and halogen; and

R₃ is hydrogen.

104. (new) The compound according to claim 33 wherein R₂ is Formula (C):

wherein:

G is C=O or CR₁₆R₁₇; where R₁₆ and R₁₇ are independently H or C₁₋₈ alkyl; and Ar4 is phenyl or heteroaryl optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylthiocarboxamide, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, C₁₋₄ alkylthioureyl, C₁₋₄ alkylureyl, amino, carbo-C₁₋₆alkoxy, carboxamide, carboxy, cyano, C₃₋₆-cycloalkyl-C₁₋₃-heteroalkylene, C₂₋₆ dialkylcarboxamide, C₁₋₄ dialkylthiocarboxamide, C₂₋₆ dialkylsulfonamide, C₁₋₄ alkylthioureyl, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ haloalkyl, C₁₋₄ haloalkylthio, halogen, heteroaryl, hydroxyl, hydroxylamino and nitro.

105. (new) The compound according to claim 33 wherein R₂ is Formula (C):

(C)

and G is CR₁₆R₁₇.

106. (new) The compound according to claim 105 wherein:

> Ar₄ is heteroaryl optionally substituted with 1 to 5 substituents selected from the group consisting of C₁₋₅ acyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₄ alkylcarboxamide, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ alkylthio, carboxamide, C₁₋₄

Serial No.: 10/541,657 Filed: March 3, 2006 Page: 35 of 47

haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} haloalkyl, halogen and hydroxyl.

107. (new) The compound according to claim 105 wherein:

Ar₄ is heteroaryl optionally substituted with 1 to 5 substituents selected from the group consisting of $C_{1.5}$ acyl, $C_{1.4}$ alkoxy, $C_{1.8}$ alkyl, $C_{1.4}$ alkylsulfinyl, $C_{1.4}$ alkylsulfinyl, $C_{1.4}$ alkylthio, $C_{1.4}$ haloalkoxy, $C_{1.4}$ haloalkyl, $C_{1.4}$ haloalkyl, halogen and hydroxyl.

- 108. (new) A compound according to claim 105 wherein Ar₄ is a 5-membered heteroaryl.
- 109. (new) A compound according to claim 108, wherein the 5-membered heteroaryl ring is selected from optionally substituted heteroaryl rings represented by the following formulae: